

wherein R¹ and R² each represents ~~[a hydrogen atom or a]~~ an aliphatic hydrocarbon group ~~[which may be substituted]~~ or

R¹ and R² form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted;

R³ represents ~~[a hydrogen atom, a lower alkyl which may be substituted or]~~ an aromatic group which may be substituted;

R⁴ represents (1) an aromatic group which may be substituted, (2) an aliphatic hydrocarbon group substituted by an aromatic group which may be substituted, which hydrocarbon group may be further substituted or (3) an acyl;

X and Y each represents an oxygen atom or a sulfur atom which may be oxidized;

--- represents a single bond or a double bond; and

ring A represents a benzene ring which may be further substituted apart from the group of the formula: -X-R⁴ wherein each symbol is as defined above.

provided that when X and Y are oxygen atoms and --- is a single bond, R⁴ is not an acyl, or a salt thereof.

2. (AMENDED) A compound of Claim 1, wherein R¹ and R² each is

~~Sub E1~~ (i) ~~a hydrogen atom or~~

(ii) ~~a C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₆ cycloalkyl or [C₆₋₁₄ aryl group which may be substituted by 1 to 5 substituents selected from the group consisting of (1) halogen atoms, (2) C₁₋₃ alkylendioxy, (3) nitro, (4) cyano, (5) optionally halogenated C₁₋₆ alkyl, (6) optionally halogenated C₂₋₆ alkenyl, (7) optionally halogenated C₂₋₆ alkynyl, (8) optionally halogenated C₃₋₆ cycloalkyl, (9) C₆₋₁₄ aryl, (10) optionally halogenated C₁₋₆ alkoxy, (11) optionally halogenated C₁₋₆ alkylthio, (12) hydroxy, (13) amino, (14) mono-C₁₋₆ alkylamino, (15) mono-C₆₋₁₄ arylamino, (16) di-C₁₋₆ alkylamino, (17) di-C₆₋₁₄ arylamino, (18) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C₁₋₆ alkyl carbonyl, C₃₋₆ cycloalkyl carbonyl, C₁₋₆ alkoxy carbonyl, C₆₋₁₄ aryl carbonyl, C₇₋₁₆ aralkyl carbonyl, C₆₋₁₄ aryloxy carbonyl, C₇₋₁₆ aralkyloxy carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C₁₋₆ alkyl carbamoyl, di-C₁₋₆ alkyl carbamoyl, C₆₋₁₄ aryl carbamoyl, 5- or 6-~~

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membered heterocycle carbamoyl, C_{1-6} -alkylsulfonyl, C_{6-14} -arylsulfonyl, C_{1-6} -alkylsulfinyl and C_{6-14} -arylsulfinyl, (19) acylamino selected from the group consisting of formylamino, C_{1-6} -alkyl-carboxamido, C_{6-14} -aryl-carboxamido, C_{1-6} -alkoxy-carboxamido, C_{1-6} -alkylsulfonylamino and C_{6-14} -arylsulfonylamino, (20) acyloxy selected from the group consisting of C_{1-6} -alkyl-carbonyloxy, C_{6-14} -aryl-carbonyloxy, C_{1-6} -alkoxy-carbonyloxy, mono- C_{1-6} -alkyl-carbamoyloxy, di- C_{1-6} -alkyl-carbamoyloxy, C_{6-14} -aryl-carbamoyloxy and nicotinoyloxy, (21) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} -alkyl, C_{6-14} -aryl and 5- to 10-membered aromatic heterocyclic group, (22) 5- to 10-membered aromatic heterocyclic group and (23) sulfo, or]

Sub E1

R^1 and R^2 form, taken together with the adjacent carbon atom, a C_{3-8} cycloalkane or a 3- to 8-membered heterocyclic ring, each of which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} -alkyl, C_{6-14} -aryl, C_{7-16} -aralkyl, amino, mono- C_{1-6} -alkylamino, mono- C_{6-14} -arylamino, di- C_{1-6} -alkylamino, di- C_{6-14} -arylamino and 5- to 10-membered aromatic heterocyclic group;

R^3 is [(i) a hydrogen atom;

(ii) a C_{1-6} -alkyl which may be substituted by 1 to 5 substituents selected from the group consisting of (1) halogen atoms, (2) C_{1-3} -alkylenedioxy, (3) nitro, (4) cyano, (5) optionally halogenated C_{1-6} -alkyl, (6) optionally halogenated C_{2-6} -alkenyl, (7) optionally halogenated C_{2-6} -alkynyl, (8) optionally halogenated C_{3-6} -cycloalkyl, (9) C_{6-14} -aryl, (10) optionally halogenated C_{1-6} -alkoxy, (11) optionally halogenated C_{1-6} -alkylthio, (12) hydroxy, (13) amino, (14) mono- C_{1-6} -alkylamino, (15) mono- C_{6-14} -arylamino, (16) di- C_{1-6} -alkylamino, (17) di- C_{6-14} -arylamino, (18) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} -alkyl-carbonyl, C_{3-6} -cycloalkyl-carbonyl, C_{1-6} -alkoxy-carbonyl, C_{6-14} -aryl-carbonyl, C_{7-16} -aralkyl-carbonyl, C_{6-14} -aryloxy-carbonyl, C_{7-16} -aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} -alkyl-carbamoyl, di- C_{1-6} -alkyl-carbamoyl, C_{6-14} -aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} -alkylsulfonyl, C_{6-14} -arylsulfonyl, C_{1-6} -alkylsulfinyl and C_{6-14} -arylsulfinyl, (19) acylamino selected from the group consisting of formylamino, C_{1-6} -alkyl-carboxamido, C_{6-14} -aryl-carboxamido, C_{1-6} -alkoxy-carboxamido, C_{1-6} -alkylsulfonylamino and C_{6-14} -arylsulfonylamino, (20) acyloxy selected from the group consisting of C_{1-6} -alkyl-carbonyloxy, C_{6-14} -aryl-carbonyloxy, C_{1-6} -alkoxy-carbonyloxy, mono- C_{1-6} -alkyl-carbamoyloxy, di- C_{1-6} -alkyl-carbamoyloxy, C_{6-14} -aryl-carbamoyloxy and nicotinoyloxy, (21) 5- to 7-membered saturated cyclic amino which may

~~be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group, (22) 5- to 10-membered aromatic heterocyclic group and (23) sulfo, or~~

~~(iii)] a C_{6-14} aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C_{1-3} alkylenedioxy, (3) nitro, (4) cyano, (5) optionally halogenated C_{1-6} alkyl, (6) optionally halogenated C_{2-6} alkenyl, (7) optionally halogenated C_{2-6} alkynyl, (8) optionally halogenated C_{3-6} cycloalkyl, (9) optionally halogenated C_{1-6} alkoxy, (10) optionally halogenated C_{1-6} alkylthio, (11) hydroxy, (12) amino, (13) mono- C_{1-6} alkylamino, (14) di- C_{1-6} alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl, (17) acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino, (18) acyloxy selected from the group consisting of C_{1-6} alkyl-carbonyloxy, C_{6-14} aryl-carbonyloxy, C_{1-6} alkoxy-carbonyloxy, mono- C_{1-6} alkyl-carbamoyloxy, di- C_{1-6} alkyl-carbamoyloxy, C_{6-14} aryl-carbamoyloxy and nicotinoyloxy, (19) sulfo, (20) C_{6-14} aryl and (21) C_{6-14} aryloxy;~~

R^4 is (i) a C_{6-14} aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C_{1-3} alkylenedioxy, (3) nitro, (4) cyano, (5) optionally halogenated C_{1-6} alkyl, (6) optionally halogenated C_{2-6} alkenyl, (7) optionally halogenated C_{2-6} alkynyl, (8) optionally halogenated C_{3-6} cycloalkyl, (9) optionally halogenated C_{1-6} alkoxy, (10) optionally halogenated C_{1-6} alkylthio, (11) hydroxy, (12) amino, (13) mono- C_{1-6} alkylamino, (14) di- C_{1-6} alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl,

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which hydrocarbon group may be further substituted by 1 to 5 substituents selected from the group consisting of (1) halogen atoms, (2) C₁₋₃ alkylendioxy, (3) nitro, (4) cyano, (5) optionally halogenated C₁₋₆ alkyl, (6) optionally halogenated C₂₋₆ alkenyl, (7) optionally halogenated C₂₋₆ alkynyl, (8) optionally halogenated C₃₋₆ cycloalkyl, (9) C₆₋₁₄ aryl, (10) optionally halogenated C₁₋₆ alkoxy, (11) optionally halogenated C₁₋₆ alkylthio, (12) hydroxy, (13) amino, (14) mono-C₁₋₆ alkylamino, (15) mono-C₆₋₁₄ arylamino, (16) di-C₁₋₆ alkylamino, (17) di-C₆₋₁₄ arylamino, (18) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C₁₋₆ alkyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl, C₁₋₆ alkoxy-carbonyl, C₆₋₁₄ aryl-carbonyl, C₇₋₁₆ aralkyl-carbonyl, C₆₋₁₄ aryloxy-carbonyl, C₇₋₁₆ aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C₁₋₆ alkyl-carbamoyl, di-C₁₋₆ alkyl-carbamoyl, C₆₋₁₄ aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C₁₋₆ alkylsulfonyl, C₆₋₁₄ arylsulfonyl, C₁₋₆ alkylsulfinyl and C₆₋₁₄ arylsulfinyl, (19) acylamino selected from the group consisting of formylamino, C₁₋₆ alkyl-carboxamido, C₆₋₁₄ aryl-carboxamido, C₁₋₆ alkoxy-carboxamido, C₁₋₆ alkylsulfonylamino and C₆₋₁₄ arylsulfonylamino, (20) acyloxy selected from the group consisting of C₁₋₆ alkyl-carbonyloxy, C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-carbonyloxy, mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆ alkyl-carbamoyloxy, C₆₋₁₄ aryl-carbamoyloxy and nicotinoyloxy, (21) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic heterocyclic group, (22) 5- to 10-membered aromatic heterocyclic group and (23) sulfo, or

(iii) an acyl of the formula: $-(C=O)-R^5$, $-(C=O)-OR^5$,

$-(C=O)-NR^5R^6$, $-(C=S)-NHR^5$, $-SO_2-R^{5a}$ or $-SO-R^{5a}$

wherein R⁵ is (a) a hydrogen atom,

(b) a C₆₋₁₄ aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C₁₋₃ alkylendioxy, (3) nitro, (4) cyano, (5) optionally halogenated C₁₋₆ alkyl, (6) optionally halogenated C₂₋₆ alkenyl, (7) optionally halogenated C₂₋₆ alkynyl, (8) optionally halogenated C₃₋₆ cycloalkyl, (9) optionally halogenated C₁₋₆ alkoxy, (10) optionally halogenated C₁₋₆ alkylthio, (11) hydroxy, (12) amino, (13) mono-C₁₋₆ alkylamino, (14) di-C₁₋₆ alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C₁₋₆ alkyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl, C₁₋₆ alkoxy-carbonyl, C₆₋₁₄

aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl, (17) acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino, (18) acyloxy selected from the group consisting of C_{1-6} alkyl-carbonyloxy, C_{6-14} aryl-carbonyloxy, C_{1-6} alkoxy-carbonyloxy, mono- C_{1-6} alkyl-carbamoyloxy, di- C_{1-6} alkyl-carbamoyloxy, C_{6-14} aryl-carbamoyloxy and nicotinoyloxy, (19) sulfo, (20) C_{6-14} aryl and (21) C_{6-14} aryloxy, or

(c) a C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl or C_{3-6} cycloalkyl group which may be substituted by 1 to 5 substituents selected from the group consisting of (1) C_{6-14} aryl or 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1') halogen atoms, (2') C_{1-3} alkylenedioxy, (3') nitro, (4') cyano, (5') optionally halogenated C_{1-6} alkyl, (6') optionally halogenated C_{2-6} alkenyl, (7') optionally halogenated C_{2-6} alkynyl, (8') optionally halogenated C_{3-6} cycloalkyl, (9') optionally halogenated C_{1-6} alkoxy, (10') optionally halogenated C_{1-6} alkylthio, (11') hydroxy, (12') amino, (13') mono- C_{1-6} alkylamino, (14') di- C_{1-6} alkylamino, (15') 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group, (16') acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl, (17') acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino, (18') acyloxy selected from the group consisting of C_{1-6} alkyl-carbonyloxy, C_{6-14} aryl-carbonyloxy, C_{1-6} alkoxy-carbonyloxy, mono- C_{1-6} alkyl-carbamoyloxy, di- C_{1-6} alkyl-carbamoyloxy, C_{6-14} aryl-carbamoyloxy and nicotinoyloxy, (19') sulfo, (20') C_{6-14} aryl and (21') C_{6-14} aryloxy, (2) halogen atoms, (3) C_{1-3} alkylenedioxy, (4) nitro, (5) cyano, (6) optionally halogenated C_{1-6} alkyl, (7) optionally halogenated C_{2-6} alkenyl, (8) optionally halogenated C_{2-6} alkynyl, (9) optionally halogenated C_{3-6} cycloalkyl, (10) optionally halogenated C_{1-6} alkoxy, (11)

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optionally halogenated C_{1-6} alkylthio, (12) hydroxy, (13) amino, (14) mono- C_{1-6} alkylamino, (15) di- C_{1-6} alkylamino, (16) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group, (17) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl, (18) acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino, (19) acyloxy selected from the group consisting of C_{1-6} alkyl-carbonyloxy, C_{6-14} aryl-carbonyloxy, C_{1-6} alkoxy-carbonyloxy, mono- C_{1-6} alkyl-carbamoyloxy, di- C_{1-6} alkyl-carbamoyloxy, C_{6-14} aryl-carbamoyloxy and nicotinoyloxy and (20) sulfo;

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R^{5a} is (a) a C_{6-14} aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C_{1-3} alkylenedioxy, (3) nitro, (4) cyano, (5) optionally halogenated C_{1-6} alkyl, (6) optionally halogenated C_{2-6} alkenyl, (7) optionally halogenated C_{2-6} alkynyl, (8) optionally halogenated C_{3-6} cycloalkyl, (9) optionally halogenated C_{1-6} alkoxy, (10) optionally halogenated C_{1-6} alkylthio, (11) hydroxy, (12) amino, (13) mono- C_{1-6} alkylamino, (14) di- C_{1-6} alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl, (17) acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino, (18) acyloxy selected from the group consisting of C_{1-6} alkyl-carbonyloxy, C_{6-14} aryl-carbonyloxy, C_{1-6} alkoxy-carbonyloxy, mono- C_{1-6} alkyl-

carbamoyloxy, di- C_{1-6} alkyl-carbamoyloxy, C_{6-14} aryl-carbamoyloxy and nicotinoyloxy, (19) sulfo, (20) C_{6-14} aryl and (21) C_{6-14} aryloxy, or
 (b) a C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl or C_{3-6} cycloalkyl group which may be substituted by 1 to 5 substituents selected from the group consisting of (1) a C_{6-14} aryl or 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1') halogen atoms, (2') C_{1-3} alkylenedioxy, (3') nitro, (4') cyano, (5') optionally halogenated C_{1-6} alkyl, (6') optionally halogenated C_{2-6} alkenyl, (7') optionally halogenated C_{2-6} alkynyl, (8') optionally halogenated C_{3-6} cycloalkyl, (9') optionally halogenated C_{1-6} alkoxy, (10') optionally halogenated C_{1-6} alkylthio, (11') hydroxy, (12') amino, (13') mono- C_{1-6} alkylamino, (14') di- C_{1-6} alkylamino, (15') 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group, (16') acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl, (17') acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino, (18') acyloxy selected from the group consisting of C_{1-6} alkyl-carbonyloxy, C_{6-14} aryl-carbonyloxy, C_{1-6} alkoxy-carbonyloxy, mono- C_{1-6} alkyl-carbamoyloxy, di- C_{1-6} alkyl-carbamoyloxy, C_{6-14} aryl-carbamoyloxy and nicotinoyloxy, (19') sulfo, (20') C_{6-14} aryl and (21') C_{6-14} aryloxy, (2) halogen atoms, (3) C_{1-3} alkylenedioxy, (4) nitro, (5) cyano, (6) optionally halogenated C_{1-6} alkyl, (7) optionally halogenated C_{2-6} alkenyl, (8) optionally halogenated C_{2-6} alkynyl, (9) optionally halogenated C_{3-6} cycloalkyl, (10) optionally halogenated C_{1-6} alkoxy, (11) optionally halogenated C_{1-6} alkylthio, (12) hydroxy, (13) amino, (14) mono- C_{1-6} alkylamino, (15) di- C_{1-6} alkylamino, (16) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group, (17) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-

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carbamoyl, 5- or 6-membered heterocycle carbamoyl, C₁₋₆ alkylsulfonyl, C₆₋₁₄ arylsulfonyl, C₁₋₆ alkylsulfinyl and C₆₋₁₄ arylsulfinyl, (18) acylamino selected from the group consisting of formylamino, C₁₋₆ alkyl-carboxamido, C₆₋₁₄ aryl-carboxamido, C₁₋₆ alkoxy-carboxamido, C₁₋₆ alkylsulfonylamino and C₆₋₁₄ arylsulfonylamino, (19) acyloxy selected from the group consisting of C₁₋₆ alkyl-carbonyloxy, C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-carbonyloxy, mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆ alkyl-carbamoyloxy, C₆₋₁₄ aryl-carbamoyloxy and nicotinoyloxy and (20) sulfo; and

R⁶ is a hydrogen atom or a C₁₋₆ alkyl; and

ring A is a benzene ring which may be further substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C₁₋₃ alkylendioxy, (3) nitro, (4) cyano, (5) optionally halogenated C₁₋₆ alkyl, (6) optionally halogenated C₂₋₆ alkenyl, (7) optionally halogenated C₂₋₆ alkynyl, (8) optionally halogenated C₃₋₆ cycloalkyl, (9) optionally halogenated C₁₋₆ alkoxy, (10) optionally halogenated C₁₋₆ alkylthio, (11) hydroxy, (12) amino, (13) mono-C₁₋₆ alkylamino, (14) di-C₁₋₆ alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C₁₋₆ alkyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl, C₁₋₆ alkoxy-carbonyl, C₆₋₁₄ aryl-carbonyl, C₇₋₁₆ aralkyl-carbonyl, C₆₋₁₄ aryloxy-carbonyl, C₇₋₁₆ aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C₁₋₆ alkyl-carbamoyl, di-C₁₋₆ alkyl-carbamoyl, C₆₋₁₄ aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C₁₋₆ alkylsulfonyl, C₆₋₁₄ arylsulfonyl, C₁₋₆ alkylsulfinyl and C₆₋₁₄ arylsulfinyl, (17) acylamino selected from the group consisting of formylamino, C₁₋₆ alkyl-carboxamido, C₆₋₁₄ aryl-carboxamido, C₁₋₆ alkoxy-carboxamido, C₁₋₆ alkylsulfonylamino and C₆₋₁₄ arylsulfonylamino, (18) acyloxy selected from the group consisting of C₁₋₆ alkyl-carbonyloxy, C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-carbonyloxy, mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆ alkyl-carbamoyloxy, C₆₋₁₄ aryl-carbamoyloxy and nicotinoyloxy, (19) sulfo, (20) C₆₋₁₄ aryl and (21) C₆₋₁₄ aryloxy.

3. (AMENDED) A compound of Claim 1, wherein R¹ and R² each is a C₁₋₆ alkyl ~~which may be substituted,~~ or R¹ and R² form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted.

C2
Amended

0. (AMENDED) A compound of Claim 1, wherein R¹ and R² each is a C₁₋₆ alkyl ~~which may be substituted by 1 to 3 substituents selected from the group consisting of (1) C₆₋₁₄-aryl,~~

~~(2) C₁₋₆ alkoxy, (3) C₁₋₆ alkylthio, (4) hydroxy, (5) amino, (6) mono-C₁₋₆ alkylamino, (7) mono-C₆₋₁₄ arylamino, (8) di-C₁₋₆ alkylamino, (9) di-C₆₋₁₄ arylamino, (10) carboxy, (11) C₁₋₆ alkylsulfonyl, (12) C₆₋₁₄ arylsulfonyl, (13) C₁₋₆ alkylsulfinyl, (14) C₆₋₁₄ arylsulfinyl and (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic group,] or~~

R¹ and R² form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted by 1 to 3 substituents selected **[form] from** the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl, C₇₋₁₆ aralkyl and 5- to 10-membered aromatic heterocyclic group;

R³ is a phenyl, 1-naphthyl, 2-naphthyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolyl, 3-quinolyl, 1-isoquinolyl, 1-indolyl, 2-indolyl or 2-benzothiazolyl group, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C₁₋₆ alkyl, (3) C₁₋₆ alkoxy, (4) mono-C₁₋₆ alkylamino, (5) di-C₁₋₆ alkylamino and (6) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected

[form] from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic group; R⁴ is (i) C₁₋₆ alkyl substituted by a phenyl, 1-naphthyl, 2-naphthyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolyl, 3-quinolyl, 1-isoquinolyl, 1-indolyl, 2-indolyl or 2-benzothiazolyl group, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C₁₋₆ alkyl, (3) C₁₋₆ alkoxy, (4) hydroxy, (5) amino, (6) mono-C₁₋₆ alkylamino, (7) di-C₁₋₆ alkylamino, (8) carboxy and (9) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected **[form] from** the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic group, which C₁₋₆ alkyl may be further substituted by carboxy or C₁₋₆ alkoxy-carbonyl, or

(ii) a C₁₋₆ alkyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl, C₆₋₁₄ aryl-carbonyl or C₇₋₁₆ aralkyl-carbonyl group, each of which may be substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C₁₋₆ alkyl, C₁₋₆ alkoxy, hydroxy, amino, mono-C₁₋₆ alkylamino, di-C₁₋₆ alkylamino and carboxy;

X is an oxygen atom;

Y is an oxygen atom; and

ring A is a benzene ring which may be further substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, optionally halogenated C₁₋₆ alkyl, optionally halogenated C₁₋₆ alkoxy, amino, mono-C₁₋₆ alkylamino and di-C₁₋₆ alkylamino.

11. (AMENDED) A compound of Claim 1, wherein R¹ and R² each is a C₁₋₆ alkyl ~~[which may be substituted by 1 to 3 substituents selected from the group consisting of C₆₋₁₄ aryl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, hydroxy, amino, mono-C₁₋₆ alkylamino, mono-C₆₋₁₄ arylamino, di-C₁₋₆ alkylamino, di-C₆₋₁₄ arylamino, carboxy, C₁₋₆ alkylsulfonyl, C₆₋₁₄ arylsulfonyl, C₁₋₆ alkylsulfinyl and C₆₋₁₄ arylsulfinyl]~~ or

R¹ and R² form, taken together with the adjacent carbon atom, a piperidine which may be substituted by 1 to 3 substituents selected ~~[form]~~ from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and C₇₋₁₆ aralkyl;

R³ is a phenyl which may be substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, mono-C₁₋₆ alkylamino and di-C₁₋₆ alkylamino;

R⁴ is (i) C₁₋₆ alkyl substituted by a phenyl or pyridyl, each of which may be substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C₁₋₆ alkyl, C₁₋₆ alkoxy, hydroxy, amino, mono-C₁₋₆ alkylamino, di-C₁₋₆ alkylamino and carboxy, or

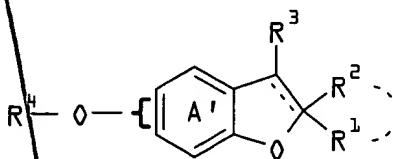
(ii) an acyl of the formula: -(C=O)-R^{5'} wherein R^{5'} is a phenyl or phenyl-C₁₋₆ alkyl, each of which may be substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C₁₋₆ alkyl, C₁₋₆ alkoxy, hydroxy, amino, mono-C₁₋₆ alkylamino, di-C₁₋₆ alkylamino and carboxy;

X is an oxygen atom;

Y is an oxygen atom; and

ring A is a benzene ring which may be further substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, optionally halogenated C₁₋₆ alkyl, optionally halogenated C₁₋₆ alkoxy, amino, mono-C₁₋₆ alkylamino and di-C₁₋₆ alkylamino.

12. (AMENDED) A compound of Claim 1 which is a compound of the formula:



wherein R¹ and R² each is C₁₋₆ alkyl ~~[which may be substituted by 6-membered saturated cyclic amino-substituted by a phenyl]~~ or

R¹ and R² form, taken together with the adjacent carbon atom, a piperidine substituted by a C₁₋₆ alkyl or a C₇₋₁₆ aralkyl;

C2
C3
C4
Sub D3

R^3 is ~~[(i) a hydrogen atom, or~~

~~(ii)] a phenyl which may be substituted by 1 to 3 substituents selected from the group consisting of (1) C_{1-6} alkyl, (2) di- C_{1-6} alkylamino and (3) 6-membered saturated cyclic amino which may be substituted by a C_{1-6} alkyl,~~

R^4 is (i) a phenyl which may be substituted by 1 to 3 substituents selected from the group consisting of nitro and C_{1-6} alkyl-carboxamido, (ii) a C_{1-6} alkyl or C_{2-6} alkenyl group substituted by 1 to 3 of phenyl, quinolyl or pyridyl, each of which may be substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkoxy-carbonyl, C_{1-6} alkylsulfonyl and C_{1-6} alkylsulfinyl, which C_{1-6} alkyl or C_{2-6} alkenyl group may be further substituted by a phenyl, carboxy or C_{1-6} alkoxy-carbonyl, or

(iii) an acyl of the formula: $-(C=O)-R^{5''}$

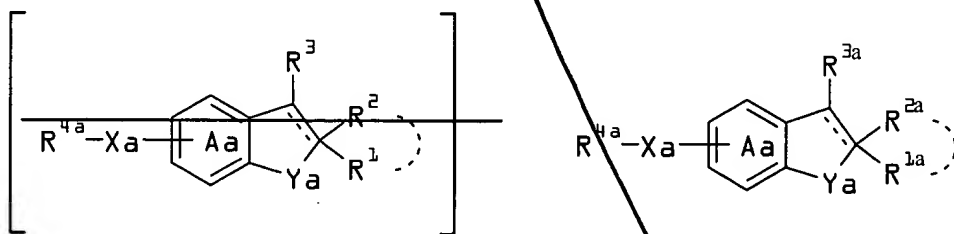
wherein $R^{5''}$ is phenyl substituted by a C_{1-6} alkoxy; and

ring A' is a benzene ring which may be further substituted by 1 to 3 C_{1-6} alkyl.

C3
Sub D3
C4
Sub D3

15. (AMENDED) A pharmaceutical composition which comprises a compound of Claim 1, and a pharmaceutically acceptable carrier, excipient or diluent.

22. (AMENDED) A method for suppressing neurodegeneration in mammal, which comprises administering to said mammal an effective amount of a compound of the formula:



wherein ~~$[R^1 \text{ and } R^2]$~~ $[R^{1a} \text{ and } R^{2a}]$ each represents a hydrogen atom or a hydrocarbon group which may be substituted, or

~~$[R^1 \text{ and } R^2]$~~ $[R^{1a} \text{ and } R^{2a}]$ form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted;

$[R^3]$ R^{3a} represents a hydrogen atom, a lower alkyl which may be substituted or an aromatic group which may be substituted;

C4
Contd
Sub D3
Contd

R^{4a} represents an aromatic group which may be substituted, an aliphatic hydrocarbon group which may be substituted or an acyl;

Xa represents an oxygen atom or a sulfur atom which may be oxidized;

Ya represents an oxygen atom, a sulfur atom which may be oxidized or an imino which may be substituted;

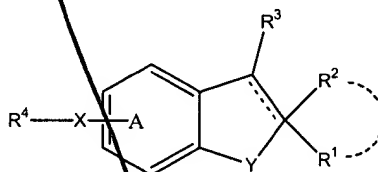
--- represents a single bond or a double bond;

ring Aa represents a benzene ring which may be further substituted apart from (i) the group of the formula: $-Xa-R^{4a}$ wherein each symbol is as defined above, and (ii) an amino which may be substituted,

provided that when Xa and Ya are oxygen atoms and --- is a single bond, $[R^4] R^{4a}$ is not an acyl, or a pharmaceutically acceptable salt thereof with a pharmaceutically acceptable excipient, carrier or diluent.

Please add the following NEW Claims 25-29:

25. (NEW) A method for suppressing neurodegeneration in a mammal, which comprises administering to said mammal an effective amount of a compound of the formula:



wherein R^1 and R^2 each represents an aliphatic hydrocarbon group or R^1 and R^2 form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted;

R^3 represents an aromatic group which may be substituted;

R^4 represents (1) an aromatic group which may be substituted, (2) an aliphatic hydrocarbon group substituted by an aromatic group which may be substituted, which hydrocarbon group may be further substituted or (3) an acyl;

X and Y each represents an oxygen atom or a sulfur atom which may be oxidized;

----- represents a single bond or a double bond;

and Ring A represents a benzene which may be further substituted apart from the group of the formula: $-X-R^4$ wherein each symbol is as defined above.